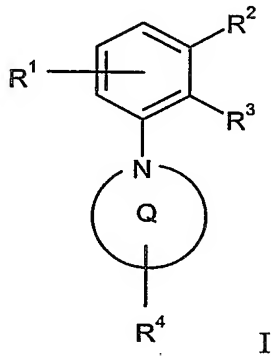
CLAIMS

1. A process of preparing an arylamine of formula I:



I

comprising heating a heterocyclyl ring moiety with an aromatic compound with a base and a solvent in the presence of a transition metal catalyst including a phosphine ligand at a temperature between about 120 and about 150°C and for a time effective to give an arylamine compound of formula I,

wherein: $\mathbf{R^1}$ is selected from H, C_{1-10}alkyl, halogen, amino, methoxy, ethoxy, or hydroxy;

$\mathbf{R^2}$ is selected from H, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10}alkynyl, C_{1-10}alkyl-amino, C_{3-10}cycloalkyl, C_{3-10}cycloalkyl-C_{1-6}alkyl, C_{4-8}cycloalkenyl, C_{4-8}cycloalkenyl-C_{1-6}alkyl, C_{3-10}heterocyclyl-C_{1-6}alkyl, C_{3-5}heteroaryl, C_{6-10}aryl or C_{6-10}aryl-C_{1-6}alkyl, wherein said H, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10}alkynyl, C_{1-10}alkyl-amino, C_{3-10}cycloalkyl, C_{3-10}cycloalkyl-C_{1-6}alkyl, C_{4-8}cycloalkenyl, C_{4-8}cycloalkenyl-C_{1-6}alkyl, C_{3-10}heterocyclyl-C_{1-6}alkyl, C_{3-5}heteroaryl, C_{6-10}aryl or C_{6-10}aryl-C_{1-6}alkyl, used in defining R^2 is optionally substituted by one or more groups selected from H, C_{1-10}alkyl, halogen, amino, methoxy, ethoxy, oxo and hydroxy;

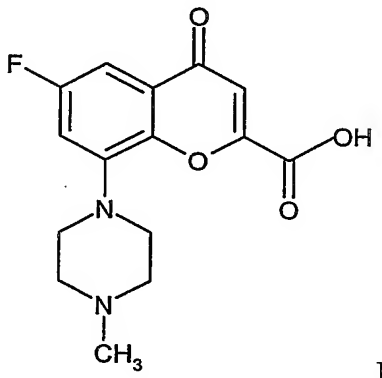
R^3 is selected from H, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10}alkynyl, C_{1-10}alkyl-amino, C_{3-10}cycloalkyl, C_{3-10}cycloalkyl-C_{1-6}alkyl, C_{4-8}cycloalkenyl, C_{4-8}cycloalkenyl-C_{1-6}alkyl, C_{3-10}heterocyclyl-C_{1-6}alkyl, C_{3-5}heteroaryl, C_{6-10}aryl or C_{6-10}aryl-C_{1-6}alkyl, wherein said H, C_{1-10}alkyl, C_{2-10}alkenyl, C_{2-10}alkynyl, C_{1-10}alkyl-amino, C_{3-10}cycloalkyl, C_{3-10}cycloalkyl-C_{1-6}alkyl, C_{4-8}cycloalkenyl, C_{4-8}cycloalkenyl-C_{1-6}alkyl, C_{3-10}heterocyclyl-C_{1-6}alkyl, C_{3-5}heteroaryl, C_{6-10}aryl or C_{6-10}aryl-C_{1-6}alkyl, used in defining R^3 is optionally substituted by one or more groups selected from H, C_{1-10}alkyl, halogen, amino, methoxy, ethoxy, oxo and hydroxy;

R^2 and R^3 can form a substituted or unsubstituted 5- or 10- membered aromatic or heteroaromatic ring having 0, 1, 2, or 3 nitrogen atoms, 0 or 1 oxygen atoms, and 0 or 1 sulfur atoms said aromatic or heteroaromatic rings or ring systems, when substituted, having substituents selected from C_{1-10}alkyl, oxygen, oxo, halogen, amino, carbonyl, hydroxycarbony, C_{1-6}alkyl-oxycarbonyl, methoxy, methoxy-C_{1-6}alkyl, ethoxy, and hydroxy.

R^4 is selected from H, C_{1-10}alkyl, halogen, amino, methoxy, ethoxy, and hydroxy;

2. A process according to claim 1, wherein R^1 is, independently, hydrogen or fluoro.
3. A process according to claim 1, wherein R^2 is methyl-carbonyl
4. A process according to claim 1, wherein R^3 is hydroxy.
5. A process according to claim 1, wherein R^4 is methyl.
6. A process according to claim 1, wherein Q is piperazinyl.
7. A process according to claim 1, wherein R^2 and R^3 form an optionally substituted 3,4-dihydro-2H-pyran ring having substitutents, independently selected from H, oxo, C_{1-3}alkyl-oxycarbonyl and hydroxycarbonyl.
8. A process according to claim 1, wherein said base is cesium carbonate
9. A process according to claim 1, wherein said solvent is anisole.
10. A process according to claim 1, wherein said solvent is xylene.

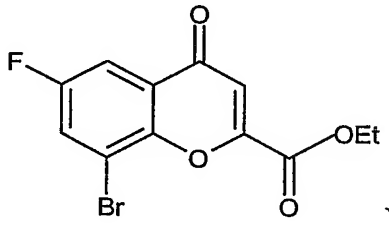
11. A process according to claim 1, wherein said transition metal catalyst is selected from palladium or palladium acetate.
12. A process according to claim 1, wherein said transition metal catalyst is $d_2(dba)_3$.
13. A process according to claim 1, wherein said phosphine ligand is racemic 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl (rac-BINAP).
14. A process according to claim 1, wherein said heating is at a temperature between about 125 and about 130°C.
15. A process of preparing a compound of formula II:



II

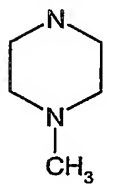
comprising:

A) heating a mixture of a compound of formula II:



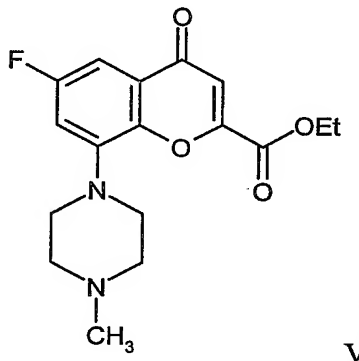
VI

and a compound of formula VIa:



VIa

with a base and a solvent in the presence of a metal transition catalyst including a phosphine ligand at a temperature between about 120 and about 150°C and for a time effective to give compounds of formula VIb:



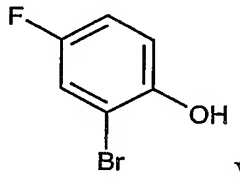
VIb, and

B) hydrolysis of compound of formula VIb under either basic or acidic conditions at a temperature and for a time effective to give compounds of formula (II).

16. A process according to claim 15, wherein said base is cesium carbonate
17. A process according to claim 15, wherein said solvent is anisole.
18. A process according to claim 15, wherein said solvent is xylene.
19. A process according to claim 15, wherein said transition metal catalyst is selected from palladium or palladium acetate.
20. A process according to claim 15, wherein said transition metal catalyst is $Pd_2(dba)_3$.
21. A process according to claim 15, wherein said phosphine ligand is racemic 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl (rac-BINAP).
22. A process according to claim 15, wherein said heating is at a temperature between about about 125 and 130°C.

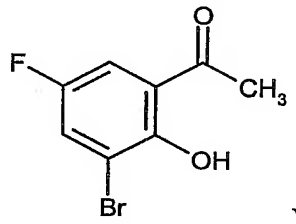
23. A process of preparing a compound of formula II comprising:

A) heating a mixture of a compound of formula Va:



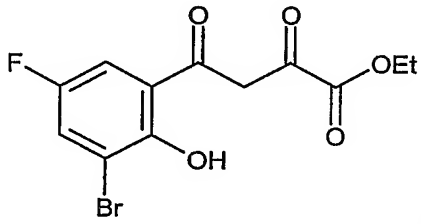
Va,

and acetyl chloride in the presence of a Lewis acid catalyst at a temperature and for a time effective to give compounds of formula Vb:



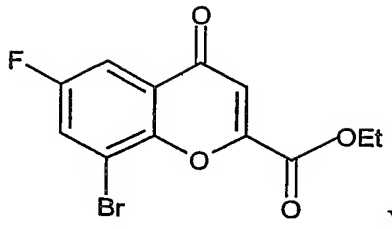
Vb,

B) combining the compounds of formula Vb and diethyl oxalate to an alcohol solution at a temperature and for a time effective to give compounds of formula Vc:



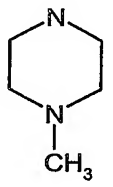
Vc,

C) heating the compound of formula Vc with a mixture of acids at a temperature and for a time effective to give compounds of formula II:



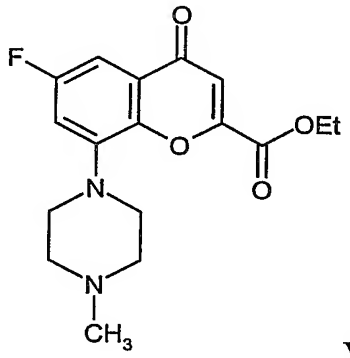
VI,

D) heating a mixture of a compound of formula II and a compound of formula VIa:



VIa

with a base and a solvent in the presence of a metal transition catalyst including a bidentate phosphine ligand at a temperature between about 120 and 150°C and for a time effective to give compounds of formula VIb:



VIb, and

B) hydrolysis of compound of formula VIb under either basic or acidic conditions at a temperature and for a time effective to give compounds of formula (II).

24. A process according to claim 23, wherein said Lewis acid catalyst is aluminum chloride.

25. A process according to claim 23, wherein said Lewis acid catalyst is zirconium tetrachloride.

26. A process according to claim 23, wherein said alcohol solution is sodium ethoxide in absolute ethanol.

27. A process according to claim 23, wherein said mixture of acids is a mixture of acetic acid and hydrochloric acid.

28. A process according to claim 23, wherein said base is cesium carbonate

29. A process according to claim 23, wherein said solvent is anisole.

30. A process according to claim 23, wherein said solvent is xylene.

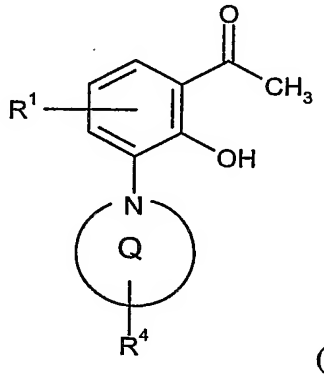
31. A process according to claim 23, wherein said transition metal catalyst is selected from palladium or palladium acetate.

32. A process according to claim 23, wherein said transition metal catalyst is $Pd_2(dba)_3$.

33. A process according to claim 23, wherein said phosphine ligand is racemic 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl (rac-BINAP).

34. A process according to claim 23, wherein said heating is at a temperature between about 125 and 130°C.

35. A compound of the formula (IV):



(IV)

wherein

R^1 is selected from H, C_1-C_6 alkyl, halogen, hydroxy, methoxy or cyano,

Q is selected from piperidinyl, piperazinyl, morpholinyl, pyrrolidinyl, azetidinyl or isoxazolidinyl, and R^4 is selected from H, C_1-C_6 alkyl, C_3-C_6 cycloalkyl, hydroxy, methoxy, aryl or heterocyclyl.

36. A compound according to claim 35, wherein R^1 is, independently, hydrogen or fluoro.

37. A compound according to claim 35, wherein Q is piperazinyl.

38. A compound according to claim 35, wherein R^4 is, independently, H or C_1-C_4 alkyl.

39. A compound according to claim 35, wherein R^4 is methyl.